```
* * * * * * * * * *   Welcome to STN International   * * * * * * * * * *
* * * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 10:44:51 ON 27 FEB 2007

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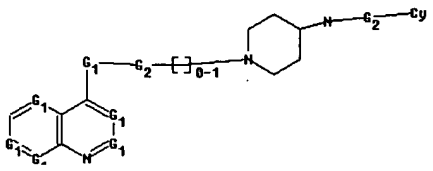

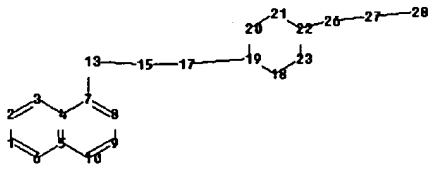

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13  15  17  26  27  28
ring nodes :
1  2  3  4  5  6  7  8  9  10  18  19  20  21  22  23
chain bonds :
7-13  13-15  15-17  17-19  22-26  26-27  27-28
ring bonds :
1-2  1-6  2-3  3-4  4-5  4-7  5-6  5-10  7-8  8-9  9-10  18-19  18-23  19-20  20-21
21-22  22-23
exact/norm bonds :
1-2  1-6  2-3  3-4  4-5  4-7  5-6  5-10  7-8  7-13  8-9  9-10  13-15  15-17  17-19
18-19  18-23  19-20  20-21  21-22  22-23  22-26  26-27  27-28
isolated ring systems :
containing 1 : 18 :


G1:N,C

G2:C,S

Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom
13:Atom  15:CLASS  17:CLASS  18:Atom  19:Atom  20:Atom  21:Atom  22:Atom  23:Atom
26:CLASS  27:CLASS  28:Atom
Generic attributes :
28:
Type of Ring System     : Polycyclic



L1      STRUCTURE UPLOADED

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L1 HAS NO ANSWERS
L1              STR
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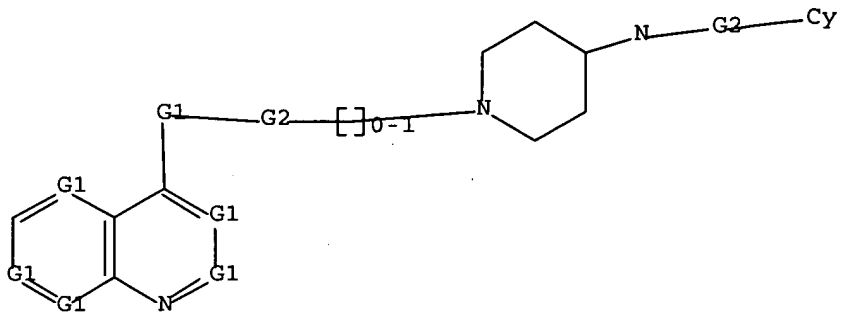


Structure attributes must be viewed using STN Express query preparation.

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=> s l1 full
L3           615 SEA SSS FUL L1

=> file caplus

=> s l3
L4             6 L3

=> dis l4 1-6 bib abs fhitstr

L4   ANSWER 1 OF 6  CAPLUS  COPYRIGHT 2007 ACS on STN
AN   2004:565041  CAPLUS Full-text
DN   141:140414
TI   Preparation of quinolines and 1,5-naphthyridines as antibacterial agents
IN   Axten, Jeffrey Michael; Brooks, Gerald; Brown, Pamela; Davies, David;
     Gallagher, Timothy Francis; Markwell, Roger Edward; Miller, William Henry;
     Pearson, Neil David; Seefeld, Mark
PA   Glaxo Group Limited, UK
SO   PCT Int. Appl., 232 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
     --------------      ----   --------    --------------------   --------
PI   WO 2004058144        A2    20040715    WO 2003-US40032        20031217
     WO 2004058144        A3    20041021
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              EG, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT,
              LV, MA, MG, MK, MN, MX, NO, NZ, OM, PH, PL, RO, SC, SG, TN, TT,
              UA, US, UZ, VN, YU, ZA
          RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
              BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
              ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,
              TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     AU 2003300965        A1    20040722    AU 2003-300965         20031217
     EP 1578743           A2    20050928    EP 2003-814042         20031217
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R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

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| | JP 2006511622 | T | 20060406 | JP 2005-509974 | 20031217 |
| | US 2006041123 | A1 | 20060223 | US 2005-538931 | 20050614 |
| PRAI | US 2002-434729P | P | 20021218 | | |
| | US 2003-457013P | P | 20030324 | | |
| | WO 2003-US40032 | W | 20031217 | | |

OS MARPAT 141:140414

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

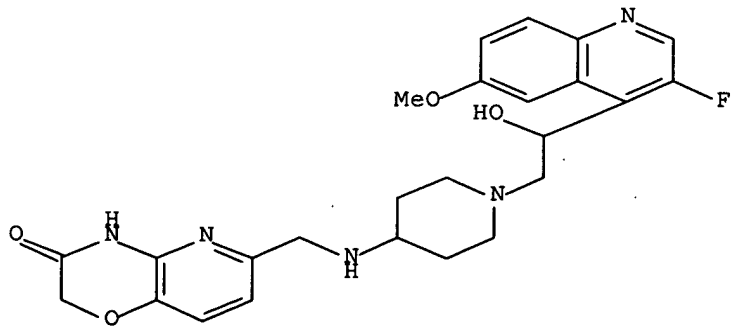
AB Title compds. I [wherein Z1 = N, CR1a and derivs.; R, R1a = independently H, halo, alkylthio, alkyl, etc.; R1CCR1a = ethylenedioxy; R1b = H, halo; with the proviso that when Z1 = N, then R1b = H, and when Z1 = CR1a, then R1 is not H; R1c = halo; AB = CHR6-CO, CHR6-CH2; R6 = H, NH2, CH2OH, OH; R3 = up 2 substituents selected from H, halo, alkyl, hydroxyalkyl, CONH2, CO2H, CH2CONH2, etc.; R4 = UR5; R5 = (un)substituted bicyclyl carbocyclyl or heterocyclyl containing up to 4 heteroatoms in each ring; U = CO, SO2, CH2; and their pharmaceutically acceptable salts] were prepared for treating bacterial infections in mammals, in particular humans. For example, II was prepared by hydrogenation of 5-benzyloxy-2-hydroxymethyl-1H-pyridin-4- one with Pd/C, cyclization with 1,2-dibromoethane, oxidation of the alc., and reductive alkylation of the amine III (preparation given) with the resulting aldehyde. Selected I displayed MIC's ≤ 2 μg/mL against Staphylococcus aureus, E. coli, etc.

IT 724790-99-2P, (+)-6-[[[1-[2-[3-Fluoro-6-(methoxy)quinolin-4-yl]-2-hydroxyethyl]-4-piperidinyl]amino]methyl]-2H-pyrido[3,2-b][1,4]oxazin-3(4H)-one
RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(antibacterial agent; preparation of quinolines and 1,5-naphthyridines as antibacterial agents)

RN 724790-99-2 CAPLUS

CN 2H-Pyrido[3,2-b]-1,4-oxazin-3(4H)-one, 6-[[[1-[2-(3-fluoro-6-methoxy-4-quinolinyl)-2-hydroxyethyl]-4-piperidinyl]amino]methyl]-, (+)- (9CI) (CA INDEX NAME)

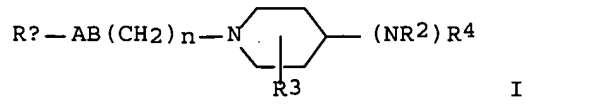
Rotation (+).



L4 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:20502 CAPLUS Full-text
DN 140:94052
TI Preparation of [[(pyrido[3.2-b][1,4]thiazinyl)methyl]amino]piperidines and analogs as antibacterial agents
IN Axten, Jeffrey Michael; Daines, Robert A.; Davies, David Thomas; Gallagher, Timothy Francis; Jones, Graham Elgin; Miller, William Henry; Pearson, Neil David; Pendrak, Israil
PA Glaxo Group Ltd., UK
SO PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2004002490 | A2 | 20040108 | WO 2003-EP6754 | 20030625 |
| | WO 2004002490 | A3 | 20051027 | | |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| | AU 2003238054 | A1 | 20040119 | AU 2003-238054 | 20030625 |
| | EP 1583537 | A2 | 20051012 | EP 2003-735685 | 20030625 |
| | EP 1583537 | A3 | 20051214 | | |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| | JP 2006505505 | T | 20060216 | JP 2004-516690 | 20030625 |
| | US 2006058287 | A1 | 20060316 | US 2005-518655 | 20050714 |
| PRAI | US 2002-391710P | P | 20020626 | | |
| | WO 2003-EP6754 | W | 20030625 | | |

OS MARPAT 140:94052
GI

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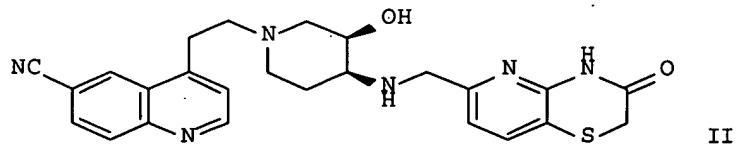



II

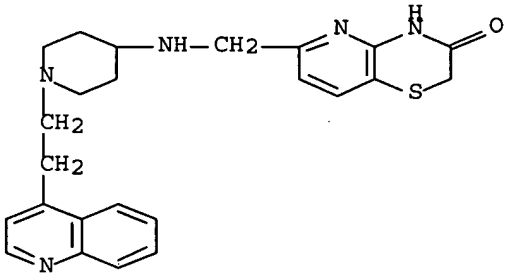
AB Title compds. I [wherein RA = (un)substituted bicyclic carbocycle, heterocycle; R2 = H, or (un)substituted alkyl, alkenyl; R3 = H, carboxy, alkoxycarbonyl, aminocarbonyl, etc.; R4 = UR5: U = CO, SO2, CH2; R5 = (un)substituted bicyclic carbocycle or heterocycle; n = 0-1; AB = aminocarbonyl, alkylcarbonyl, aminosulfonyl, etc.; and pharmaceutically

acceptable derivs. thereof] were prepared as antibacterial agents. For example, reductive alkylation of 4-[2-[(3R,4S)-4-amino-3-hydroxy-1-piperidinyl]ethyl]-6-quinolinecarbonitrile•2HCl with 3-oxo-3,4-dihydro-2H-pyrido[3,2-b][1,4]thiazine-6-carboxaldehyde afforded II in 60% yield. II•2HCl had MIC ≤ 2 μg/mL against bacterial infections, such as S. epidermidis CL7. Thus, I and their pharmaceutical compns. are useful for the treatment of bacterial infections in mammals, particularly in humans.

IT 642478-39-5P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(Preparation of [[(pyrido[3.2-b][1,4]thiazinyl)methyl]amino]piperidines and analogs as antibacterial agents)

RN 642478-39-5 CAPLUS

CN 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[1-[2-(4-quinolinyl)ethyl]-4-piperidinyl]amino]methyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2003:610459 CAPLUS Full-text

DN 139:164795

TI Preparation of aminopiperidine compounds as antibacterial agents

IN Miller, William Henry; Pearson, Neil David; Pendrak, Israil; Seefeld, Mark Andrew

PA Glaxo Group Limited, UK; Daines, Robert A

SO PCT Int. Appl., 47 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2003064431 | A2 | 20030807 | WO 2003-EP824 | 20030127 |
| | WO 2003064431 | A3 | 20031218 | | |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| | EP 1470131 | A2 | 20041027 | EP 2003-734702 | 20030127 |
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IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

| | | | | | |
|---|---|---|---|---|---|
| | JP 2005519922 | T | 20050707 | JP 2003-564054 | 20030127 |
| | US 2005085494 | A1 | 20050421 | US 2004-502234 | 20040722 |
| | US 7109213 | B2 | 20060919 | | |
| PRAI | GB 2002-2025 | A | 20020129 | | |
| | GB 2002-29819 | A | 20021220 | | |
| | WO 2003-EP824 | W | 20030127 | | |

OS MARPAT 139:164795

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

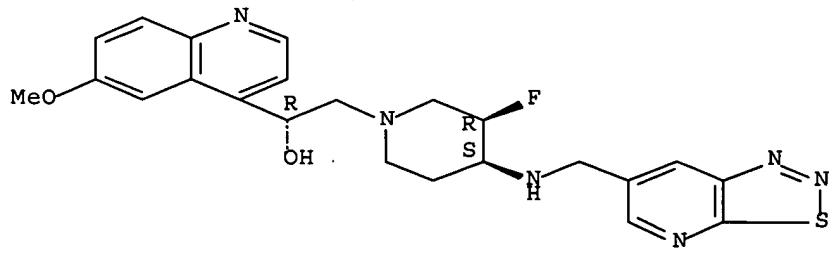
AB The title compds. [I; one of Z1-Z5 = N, one = CR1a and the remainder = CH; or one or two of Z1-Z5 = CR1a and the remainder are CH; R1, R1a = H, OH, alkoxy, etc.; or when Z5 = CR1a, then R1a may instead be CN, CH2OH, CO2H; or R1 and R1a on adjacent positions may together form ethylenedioxy; provided that when Z1-Z5 = CR1a or CH, then R1 is not H; R2 = H, alkyl, alkenyl, etc.; R3 is in the 2-, 3- or 4- position and is CF3 or is in the 2-position and is oxo; or R3 is in the 3-position and = F, (un)substituted NH2; R4 = UR5 (wherein U = CO, SO2, CH2; R5 = (un)substituted bicyclic carbocyclic or heterocyclic ring system); n = 0-1; A = O, (un)substituted NH, CH2; B = O, SO2, (un)substituted NH, CH2], useful in the treatment of bacterial infections in mammals (biol. data given), particularly in man, were prepared E.g., a multi-step synthesis of II and III as a 1:1 mixture of isomers (starting from Me 6-chloro-5-nitronicotinate), was given. A pharmaceutical composition comprising the title compound I was claimed.

IT 577771-02-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminopiperidine compds. as antibacterial agents)

RN 577771-02-9 CAPLUS

CN 4-Quinolinemethanol, α-[[(3R,4S)-3-fluoro-4-[([1,2,3]thiadiazolo[5,4-b]pyridin-6-ylmethyl)amino]-1-piperidinyl]methyl]-6-methoxy-, (αR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.




L4 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2003:610449 CAPLUS Full-text

DN 139:164798

TI Preparation of aminopiperidine derivatives for treatment of bacterial infections

IN Miller, William Henry; Pearson, Neil David; Pendrak, Israil; Seefeld, Mark

Andrew
PA Glaxo Group Limited, UK; Daines, Robert A
SO PCT Int. Appl., 96 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| PI WO 2003064421 | A1 | 20030807 | WO 2003-EP823 | 20030127 |
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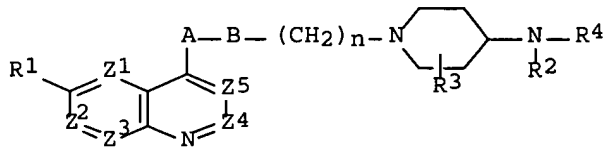
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| EP 1470125 | A1 | 20041027 | EP 2003-734701 | 20030127 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| US 2005159411 | A1 | 20050721 | US 2003-502233 | 20030127 |
| JP 2005525324 | T | 20050825 | JP 2003-564044 | 20030127 |
| PRAI GB 2002-2026 | A | 20020129 | | |
| GB 2002-29824 | A | 20021220 | | |
| WO 2003-EP823 | W | 20030127 | | |

OS MARPAT 139:164798
GI

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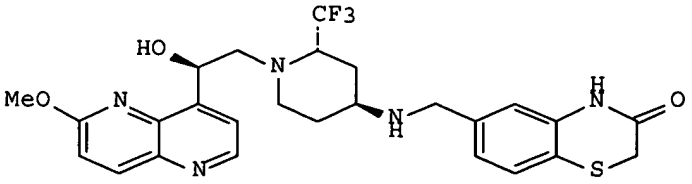



II

AB Title compds. I [one of Z1-5 = N, one = CR1a and the remainder = CH or one of Z1-5 = CR1a and the remainder = CH; R1-1a = H, OH, alkoxy, amino, etc.; R2 = H, alkyl, alkenyl; R3 = CF3, 2-oxo, etc.; R4 = UR5; U = CO, SO2, CH2; R5 = bicyclic, heterocyclic ring system A; n = 0-1; AB = amido, alkylacyl, aminosulfonyl, etc.] are prepared For instance, bromomethyl (6-methoxy[1,5]naphthyridin-4-yl)ketone (preparation given) is reduced (PhMe, (+)-DIPCl) to give the (R)-alc., converted to the oxirane (MeOH, K2CO3) and

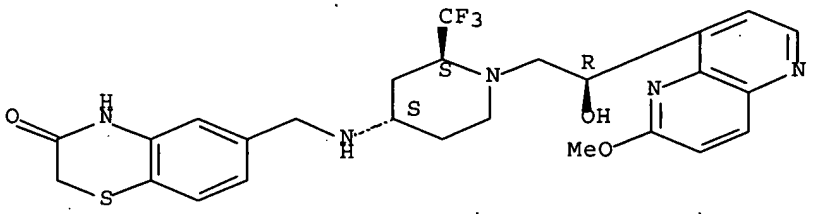
used to alkylate [(2S,4S)-2-(trifluoromethyl)piperidin-4-yl]carbamic acid tert-Bu ester (preparation given) and deprotected to give (1R)-2-[(2S,4S)-4-amino-2-(trifluoromethyl)piperidin-1-yl]-1-(6- methoxy[1,5]naphthyridin-4-yl)ethanol. This amine is alkylated with 3-oxo-3,4-dihydro-2H-benzo[1,4]thiazine-6-carboxaldehyde (preparation given) (EtOH, NaBH4) to give II. Selected examples have MICs ≤ 2 μg/mL vs., e.g., S. epidermidis CL7, S. aureus WCUH29, etc.

IT 577691-48-6P, 6-[[[(2S,4S)-1-[(R)-2-Hydroxy-2-(6-methoxy[1,5]naphthyridin-4-yl)ethyl]-2-(trifluoromethyl)piperidin-4-yl]amino]methyl]-4H-benzo[1,4]thiazin-3-one
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminopiperidine derivs. for treatment of bacterial infections)

RN 577691-48-6 CAPLUS

CN 2H-1,4-Benzothiazin-3(4H)-one, 6-[[[(2S,4S)-1-[(2R)-2-hydroxy-2-(6-methoxy-1,5-naphthyridin-4-yl)ethyl]-2-(trifluoromethyl)-4-piperidinyl]amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2002:555350 CAPLUS Full-text
DN 137:125092
TI Preparation of 4-piperidinylquinolines and nitrogenated analogs as antibacterial agents
IN Davies, David Thomas; Jones, Graham Elgin; Markwell, Roger Edward; Miller, William; Pearson, Neil David
PA Smithkline Beecham P.L.C., UK
SO PCT Int. Appl., 94 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| PI WO 2002056882 | A1 | 20020725 | WO 2002-EP587 | 20020122 |

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BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1359908 A1 20031112 EP 2002-702296 20020122

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

JP 2004520360 T 20040708 JP 2002-557390 20020122

US 2004138219 A1 20040715 US 2004-466394 20040126

PRAI GB 2001-1577 A 20010122

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OS MARPAT 137:125092

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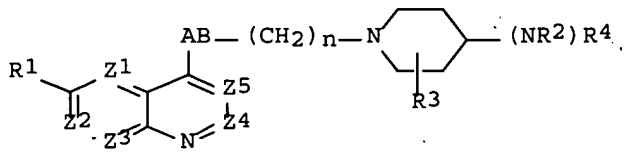

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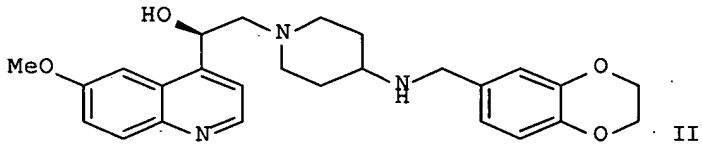


II

AB Title compds. I [wherein one of Z1-Z5 = N, one = CR1a, and the remainder = CH; or one of Z1-Z5 = CR1a and the remainder = CH; R1 and R1a = independently H, OH, or (un)substituted alkoxy; R2 = H or (un)substituted alkyl or alkenyl; R3 = H, carboxy, alkoxycarbonyl, alkenyloxycarbonyl, or (un)substituted aminocarbonyl, alkyl, or ethenyl; R4 = UR5; U = CO, SO2, or CH2; R5 = (un)substituted bicyclic carbocyclic or heterocyclic ring; n = 0 and AB = (un)substituted NHCO, COCH2, CH2CO, NHSO2, CH2SO2, or CH2CH2; or n = 0 and AB = NHCO, COCH2, CH2CO, NHSO2, CONH, CH2CH2, OCH2, or NHCH2; with provisos; and pharmaceutically derivs. thereof] were prepared for the treatment of gram pos. and gram neg. bacterial infections in mammals, particularly in man. For example, quininone was treated with t-BuOK in t-BuOH and H2O to give 6-methoxyquinoline-4-carboxylic acid (46%), which was converted to (R)-2-(6-methoxyquinoline-4-yl)oxirane over several steps. Reaction with LiClO4 in anhydrous DMF, 4-tert- butoxycarbonylaminopiperidine•HCl, and K2CO3 with heating to 90° for 26 h afforded 4-tert-butoxycarbonylamino-1-[2-(R)-hydroxy-2- (6-methoxyquinoline-4-yl)ethyl]piperidine. Deprotection, condensation with 2,3-dihydrobenzo[1,4]dioxin-6-carboxaldehyde, and conversion to the salt gave II•2HO2CCO2H. The latter demonstrated antibacterial activity with MIC ≤ 0.125 μM against one or more of the gram pos. and gram neg. bacteria tested.

IT 443955-94-0P, 6-[[[(3S,4S)-3-Hydroxy-1-[(R)-2-hydroxy-2-(6-methoxyquinolin-4-yl)ethyl]piperidin-4-yl]amino]methyl]-4H-benzo[1,4]thiazin-3-one

RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

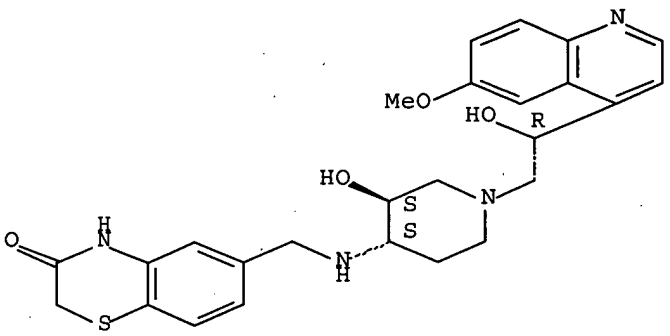
(antibacterial agent; preparation of piperidinylquinolines and nitrogenated analogs as antibacterial agents)

RN 443955-94-0 CAPLUS

CN 2H-1,4-Benzothiazin-3(4H)-one, 6-[[[(3S,4S)-3-hydroxy-1-[(2R)-2-hydroxy-2-(6-methoxy-4-quinolinyl)ethyl]-4-piperidinyl]amino]methyl]- (9CI) (CA

INDEX NAME)

Absolute stereochemistry.



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2002:90042 CAPLUS Full-text
DN 136:151082
TI Preparation of aminopiperidine quinolines and their azaisosteric analogs having antibacterial activity
IN Davies, David Thomas; Jones, Graham Elgin; Lightfoot, Andrew P.; Markwell, Roger Edward; Pearson, Neil David
PA Smithkline Beecham P.L.C., UK
SO PCT Int. Appl., 80 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| PI | WO 2002008224 | A1 | 20020131 | WO 2001-EP8604 | 20010725 |
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| | CA 2417192 | A1 | 20020131 | CA 2001-2417192 | 20010725 |
| | EP 1305308 | A1 | 20030502 | EP 2001-969509 | 20010725 |
| | EP 1305308 | B1 | 20061220 | | |
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| | BR 2001012750 | A | 20030909 | BR 2001-12750 | 20010725 |
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| | HU 200300721 | A2 | 20050829 | HU 2003-721 | 20010725 |
| | AT 348826 | T | 20070115 | AT 2001-969509 | 20010725 |
| | ZA 2003000589 | A | 20040422 | ZA 2003-589 | 20030122 |
| | NO 2003000345 | A | 20030310 | NO 2003-345 | 20030123 |
| | IN 2003MN00103 | A | 20050204 | IN 2003-MN103 | 20030123 |

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| | US 2004038998 | A1 | 20040226 | US 2003-333829 | 20030828 |
| | US 6962917 | B2 | 20051108 | | |
| | US 2006014749 | A1 | 20060119 | US 2005-219148 | 20050902 |
| PRAI | GB 2000-18351 | A | 20000726 | | |
| | GB 2001-1629 | A | 20010122 | | |
| | WO 2001-EP8604 | W | 20010725 | | |
| | US 2003-333829 | A3 | 20030828 | | |

OS MARPAT 136:151082

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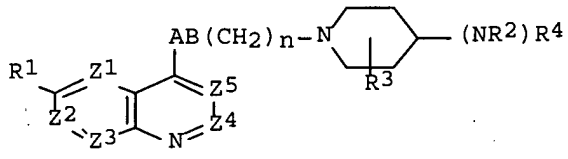

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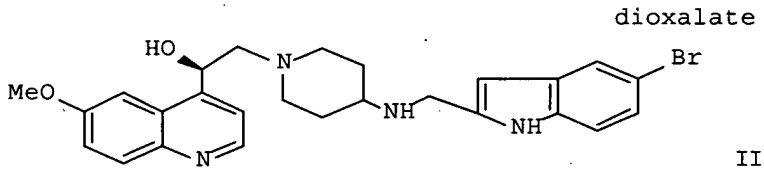


dioxalate

II

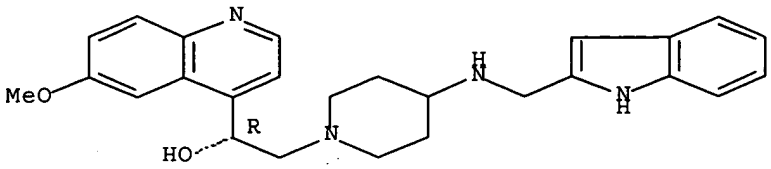
AB Aminopiperidine quinoline compds. I (Z1-Z5 = one is N, one (or two independently are) CR1a and the remainder are CH; R1 and R1a = independently are H, OH, NH2, CONH2, halogen, (un)substituted S and SO2, (un)substituted alkyl and alkoxy, etc.; R2 = H, (un)substituted alkyl or alkenyl; R3 = H, CO2H, (un)substituted amino, etc.; R4 = CO, SO2, CH2 attached to an optionally substituted bicyclic, carbocyclic or heterocyclic ring system; n = 0-1; AB = substituted N or C), their salts and pharmaceutically acceptable derivs. were prepared and found to be useful in treating bacterial infections in mammals, especially humans. Thus II was prepared from 4-amino-1-[2-(R)-hydroxy-2-(6-methoxyquinolin-4- yl)]ethylpiperidine and 5-bromo-1H-indole-2-carboxaldehyde and was determined to have an MIC less than or equal to 32μg/mL against one or more of gram pos. and neg. bacteria such as S. aureus Oxford and WCUH29 and S. pneumoniae 1629, N1387 and ERY 2.

IT 394222-56-1P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of aminopiperidine quinolines and their azaisosteric analogs having antibacterial activity)

RN 394222-56-1 CAPLUS

CN 4-Quinolinemethanol, α-[[4-[(1H-indol-2-ylmethyl)amino]-1-piperidinyl]methyl]-6-methoxy-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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L1              STRUCTURE UPLOADED
L2              6 S L1 SAM
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     FILE 'CAPLUS' ENTERED AT 10:45:38 ON 27 FEB 2007
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